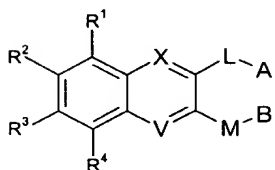


CLAIMS

1. A compound of the general formula (I):



wherein

R¹, R², R³ and R⁴ independently are hydrogen, halogen, -CN, -CF₃, -NO₂, -OR⁵, lower alkyl, -SR⁵, -S(O)₂NR⁵R⁶, -S(O)NR⁵R⁶, -S(O)₂R⁵, -S(O)R⁵, -C(O)NR⁵R⁶, -CH₂OR⁵, -CH₂NR⁵R⁶, -NR⁵R⁶, -C(O)R⁵ or -C(O)OR⁵,

wherein R⁵ and R⁶ independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl, or R⁵ and R⁶ together with the nitrogen atom to which they are bound form a 3 to 8 membered heterocyclic ring optionally containing one or more further heteroatoms selected from nitrogen, oxygen and sulfur and optionally containing one or more double bonds,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

one of X and V is =N-, and the other is =CD- or =N-,

wherein D is hydrogen, halogen, -CN, -CF₃, -NO₂, -OR⁷, -NR⁷R⁸, lower alkyl, aryl, -C(O)NR⁷R⁸, -CH₂OR⁷, -CH₂NR⁷R⁸ or -C(O)OR⁷,

wherein R^7 and R^8 independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl, or R^7 and R^8 together with the nitrogen atom to which they are bound form a 3 to 8 membered heterocyclic ring optionally containing one or more further heteroatoms selected from nitrogen, oxygen and sulfur and optionally containing one or more double bonds,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

L and M independently are a valence bond, $-(CH_2)_mS(CH_2)_n-$, $-(CH_2)_mO(CH_2)_n-$, $-(CH_2)_mS(O)(CH_2)_n-$, $-(CH_2)_mS(O)_2(CH_2)_n-$, $-(CH_2)_mCH=CH(CH_2)_n-$, $-(CH_2)_mC\equiv C(CH_2)_n-$, $-(CH_2)_mCHR^9(CH_2)_n-$, $-(CH_2)_mNR^9(CH_2)_n-$, $-(CH_2)_mC(O)NR^9(CH_2)_n-$, $-(CH_2)_mC(O)O(CH_2)_n-$, $-S(CH_2)_mC(O)O(CH_2)_n-$, $-S(O)_2(CH_2)_mC(O)O(CH_2)_n-$, $-S(O)_2(CH_2)_mC(O)(CH_2)_n-$, $-S(O)_2NR^9(CH_2)_mC(O)O(CH_2)_n-$, $-S(CH_2)_mC(O)NR^9(CH_2)_n-$, $-(CH_2)_mOC(O)(CH_2)_n-$, $-(CH_2)_mC(O)(CH_2)_n-$, $-(CH_2)_mC(NOR^9)(CH_2)_n-$, $-(CH_2)_mNR^9S(O)_2(CH_2)_n-$, $-(CH_2)_mS(O)_2NR^9(CH_2)_n-$, $-(CH_2)_mCHOR^9(CH_2)_n-$, $-(CH_2)_mP(O)(OR^9)O(CH_2)_n-$, $-S(O)_2(CH_2)_mCONR^9(CH_2)_n-$, $-S(O)_2(CH_2)_mOC(O)NR^9(CH_2)_nC(O)O(CH_2)_n-$, $-NR^9O(CH_2)_n-$, $-NR^9NR^{9a}C(O)NR^{9b}(CH_2)_n-$, $-NR^9(CH_2)_mNR^{9a}C(O)(CH_2)_n-$ or $-NR^9(CR^{9c}R^{9d})_n-$,

wherein R^9 , R^{9a} and R^{9b} independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen,

lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

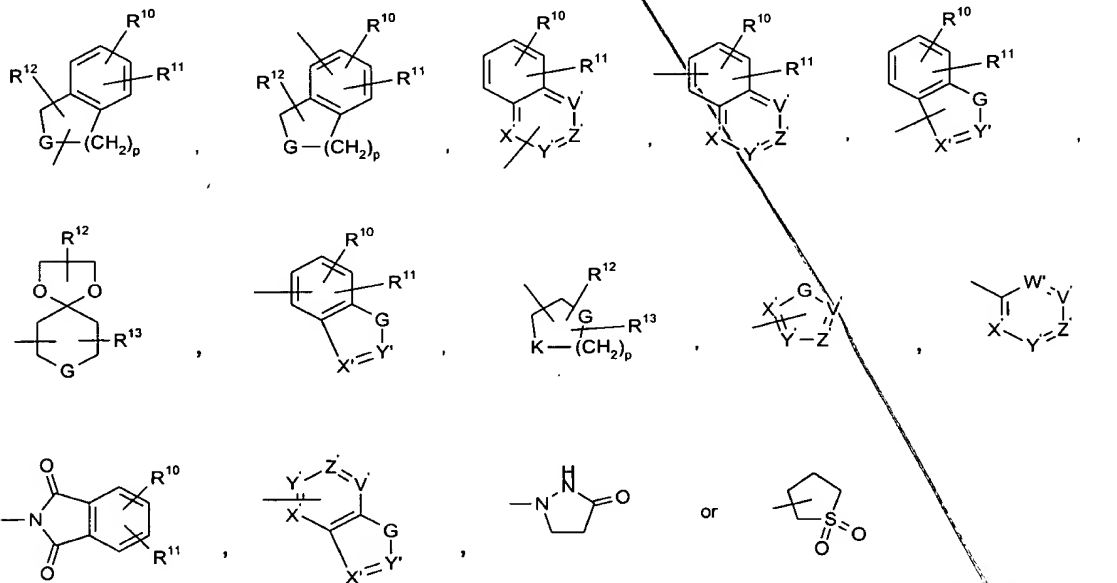
5 R^{9c} and R^{9d} independently are hydrogen or lower alkyl,

m, n and r independently are 0, 1, 2, 3 or 4,

A and B independently are hydrogen, halogen, -CF₃, -CF₂CF₃, -CN, -NO₂, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, hydroxy,

10 in which the cycloalkyl ring may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

15 or A and B independently are



wherein

20 p is 1, 2 or 3,

X' is -N= or -CR¹⁴=,

Y' is -N= or -CR¹⁵=,

5 Z' is -N= or -CR¹⁶=,

V' is -N= or -CR¹⁷=,

W' is -N= or -CR¹⁸=,

G is -CR^{18a}R^{18b}-, -N⁺O⁻-, -NR¹⁹-, -O- or -S-,

K is -CR^{18c}R^{18d}-, -NR²⁰-, -O- or -S-,

15 R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R^{18a}, R^{18b}, R^{18c} and R^{18d} independently are hydrogen, halogen, -CN, -CF₃, -OCF₃, -OCH₂CF₃, -OCF₂CHF₂, -NO₂, -OR²¹, -NR²¹R²², lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl, -SCF₃, -SR²¹, -CHF₂, -OCHF₂, -OS(O)₂CF₃, -OS(O)₂R²¹, -NR²¹S(O)₂R²², -S(O)₂NR²¹R²², -S(O)NR²¹R²², -S(O)₂R²¹, -S(O)R²¹, -CH₂C(O)NR²¹R²², -OCH₂C(O)NR²¹R²², -CH₂OR²¹, -CH₂NR²¹R²², -OC(O)R²¹, -S(O)₂NR²¹(CH)_sC(O)OR²², -C(O)NR²¹(CH)_sC(O)OR²² or -C(O)NR²¹R²² where R¹² and R¹³ further-
25 moreover independently may represent oxo, or two of the groups R¹⁰ to R^{18d} when defined in the same ring together may form a bridge -O(CH₂)_qO- or -CH₂O(CH₂)_qO-,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

wherein R²¹ and R²² independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower

alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl, or R²¹ and R²² together with the nitrogen atom to which they are bound form a 3 to 8 membered heterocyclic ring optionally containing one or more further heteroatoms selected from nitrogen, oxygen and sulfur and optionally containing one or more double bonds,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

R¹⁹ and R²⁰ independently are hydrogen, -OR²³, -NR²³R²⁴, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl, -C(O)NR²³R²⁴ or -C(O)OR²³,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

wherein R²³ and R²⁴ independently are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, heteroaryl, cycloalkyl-lower alkyl, cycloalkyl-lower alkenyl, cycloalkyl-lower alkynyl, cycloalkenyl-lower alkyl, cycloalkenyl-lower alkenyl, cycloalkenyl-lower alkynyl, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkynyl, heterocyclyl-lower alkyl, heterocyclyl-lower alkenyl, heterocyclyl-lower alkynyl, heteroaryl-lower alkyl, heteroaryl-lower alkenyl or heteroaryl-lower alkynyl, or R²³ and R²⁴ together with the nitrogen atom to which they are bound form a 3 to 8 membered heterocyclic ring optionally containing one or more further heteroatoms selected from nitrogen, oxygen and sulfur and optionally containing one or more double bonds,

in which the cycloalkyl, cycloalkenyl, heterocyclyl, aryl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

q is 1, 2 or 3,

s is 0, 1, 2 or 3,

or

A and B may be connected and together form a C₂₋₃-alkylene radical,

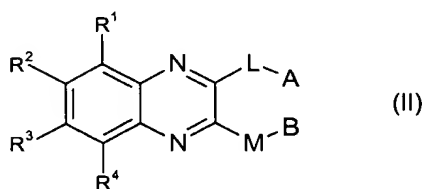
with the provisos that

when L represents a group wherein n or r is 0, A is not halogen, -CN or -NO₂, and

when M represents a group wherein n or r is 0, B is not halogen, -CN or -NO₂,

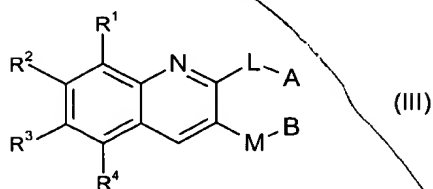
as well as any optical or geometric isomer or tautomeric form thereof including mixtures of these or a pharmaceutically acceptable salt thereof.

2. A compound according to claim 1 of the general formula (II):



wherein R¹, R², R³, R⁴, L, M, A and B are as defined in claim 1.

3. A compound according to claim 1 of the general formula (III):



wherein R^1 , R^2 , R^3 , R^4 , L, M, A and B are as defined in claim 1.

claim 1

4. A compound according to ~~any one of the preceding claims~~, wherein R^1 , R^2 , R^3 and R^4 independently are hydrogen, halogen, -CN, -CF₃, -NO₂, lower alkyl, lower alkoxy, -S(O)₂NR⁵R⁶, -S(O)NR⁵R⁶, -S(O)₂R⁵, -C(O)NR⁵R⁶, -SR⁵, -C(O)R⁵ or -C(O)OR⁵, ~~wherein R^5 and R^6 are as defined in claim 1.~~

5. A compound according to claim 4, wherein R^1 , R^2 , R^3 and R^4 independently are hydrogen, halogen, -CN, -CF₃, lower alkyl, lower alkoxy, -SR⁵, -S(O)₂R⁵, -C(O)OR⁵, -C(O)R⁵, -NO₂ or -C(O)NR⁵R⁶, wherein R^5 and R^6 are as defined in claim 1.

6. A compound according to claim 4 or 5, wherein R^5 and R^6 independently are hydrogen, phenyl or lower alkyl, wherein phenyl optionally is substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃.

7. A compound according to claim 5, wherein R^1 , R^2 , R^3 and R^4 independently are hydrogen, halogen, -CN, -CF₃, -NO₂, -C(O)phenyl, lower alkyl or lower alkoxy, wherein phenyl optionally is substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃.

8. A compound according to claim 7, wherein R^1 , R^2 , R^3 and R^4 independently are hydrogen, halogen, -CF₃, -NO₂ or -C(O)phenyl.

9. A compound according to anyone of the claims 4 to 8, wherein three of the groups R^1 to R^4 are hydrogen and one of them is different from hydrogen.

10. A compound according to anyone of the claims 4 to 8, wherein two of the groups R^1 to R^4 are hydrogen and the other two are different from hydrogen.

11. A compound according to claim 9 or 10, wherein R^1 and R^4 are both hydrogen.

12. A compound according to claim 9, wherein one of R^1 to R^4 is halogen.

13. A compound according to claim 10, wherein R^2 and R^3 are both halogen.

14. A compound according to claim 13, wherein R^2 and R^3 are both chloro.

15. A compound according to claim 9, wherein R^3 is $-\text{NO}_2$.

16. A compound according to claim 10, wherein R^2 and R^3 are both $-\text{NO}_2$.

claim 1
17. A compound according to ~~anyone of the preceding claims~~, wherein L is a valence bond, $-(\text{CH}_2)_m\text{S}(\text{CH}_2)_n-$, $-(\text{CH}_2)_m\text{S}(\text{O})(\text{CH}_2)_n-$, $-(\text{CH}_2)_m\text{S}(\text{O})_2(\text{CH}_2)_n-$, $-(\text{CH}_2)_m\text{CHR}^9(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2(\text{CH}_2)_m\text{C}(\text{O})\text{O}(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2(\text{CH}_2)_m\text{C}(\text{O})(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2\text{NR}^9(\text{CH}_2)_m\text{C}(\text{O})\text{O}(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2(\text{CH}_2)_m\text{OC}(\text{O})\text{NR}^9(\text{CH}_2)_n\text{C}(\text{O})\text{O}(\text{CH}_2)_r-$ or $-\text{S}(\text{O})_2(\text{CH}_2)_m\text{CONR}^9(\text{CH}_2)_n-$, ~~wherein m, n, r and R^9 are as defined in claim 1.~~

18. A compound according to claim 17, wherein L is a valence bond, $-\text{S}-$, $-\text{S}(\text{O})-$, $-\text{S}(\text{O})_2(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2(\text{CH}_2)_2\text{C}(\text{O})\text{O}(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2(\text{CH}_2)_2\text{C}(\text{O})(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2\text{NH}(\text{CH}_2)_2\text{C}(\text{O})\text{O}(\text{CH}_2)_n-$, $-\text{S}(\text{O})_2(\text{CH}_2)_4\text{OC}(\text{O})\text{NH}(\text{CH}_2)_2\text{C}(\text{O})\text{O}-$ or $-\text{S}(\text{O})_2(\text{CH}_2)_2\text{CONH}(\text{CH}_2)_n-$, wherein n is as defined in claim 1.

19. A compound according to claim 18, wherein L is a valence bond, $-\text{S}-$, $-\text{S}(\text{O})-$, $-\text{S}(\text{O})_2-$, $-\text{S}(\text{O})_2\text{CH}_2-$, $-\text{S}(\text{O})_2(\text{CH}_2)_2-$, $-\text{S}(\text{O})_2(\text{CH}_2)_2\text{C}(\text{O})\text{O}-$, $-\text{S}(\text{O})_2(\text{CH}_2)_2\text{C}(\text{O})(\text{CH}_2)_2-$, $-\text{S}(\text{O})_2\text{NH}(\text{CH}_2)_2\text{C}(\text{O})\text{O}-$, $-\text{S}(\text{O})_2(\text{CH}_2)_4\text{OC}(\text{O})\text{NH}(\text{CH}_2)_2\text{C}(\text{O})\text{O}-$ or $-\text{S}(\text{O})_2(\text{CH}_2)_2\text{CONH}(\text{CH}_2)_2-$.

20. A compound according to claim 19, wherein L is $-\text{S}(\text{O})_2\text{CH}_2-$ or $-\text{S}(\text{O})_2-$.

claim 1

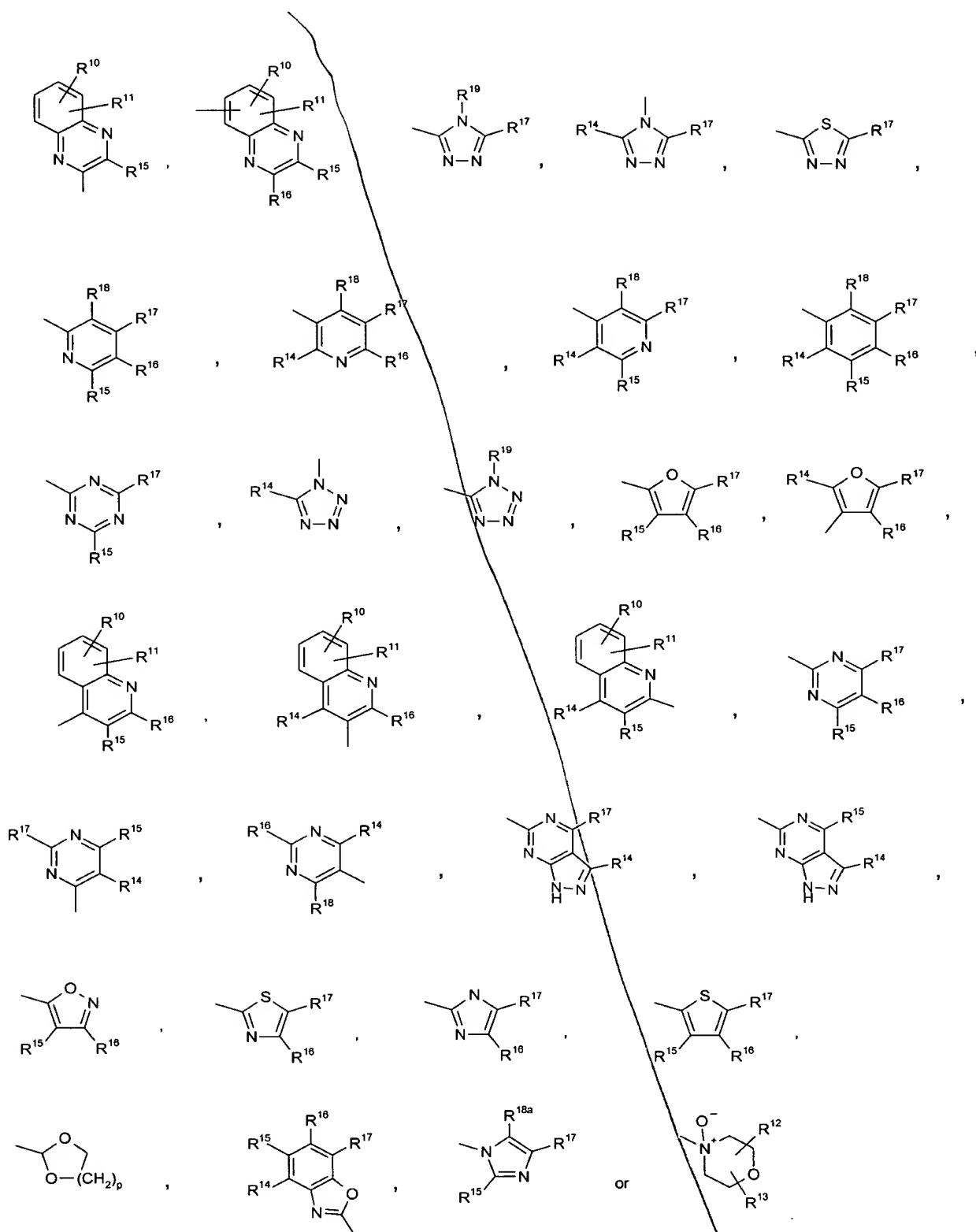
21. A compound according to ~~any one of the preceding claims~~, wherein A is lower alkyl, halogen, $-\text{CF}_3$, $-\text{OH}$, $-\text{NO}_2$, cycloalkyl, \wedge

in which the cycloalkyl ring may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, $-\text{OH}$, $-\text{CH}_2\text{OH}$, $-\text{NO}_2$, $-\text{CN}$, $-\text{C}(\text{O})\text{OH}$, $-\text{O}$ -lower alkyl, $-\text{C}(\text{O})\text{OCH}_3$, $-\text{C}(\text{O})\text{NH}_2$, $-\text{OCH}_2\text{C}(\text{O})\text{NH}_2$, $-\text{NH}_2$, $-\text{N}(\text{CH}_3)_2$, $-\text{CH}_2\text{N}(\text{CH}_3)_2$, $-\text{SO}_2\text{NH}_2$, $-\text{OCHF}_2$, $-\text{CF}_3$ and $-\text{OCF}_3$,

or A is

5
cont

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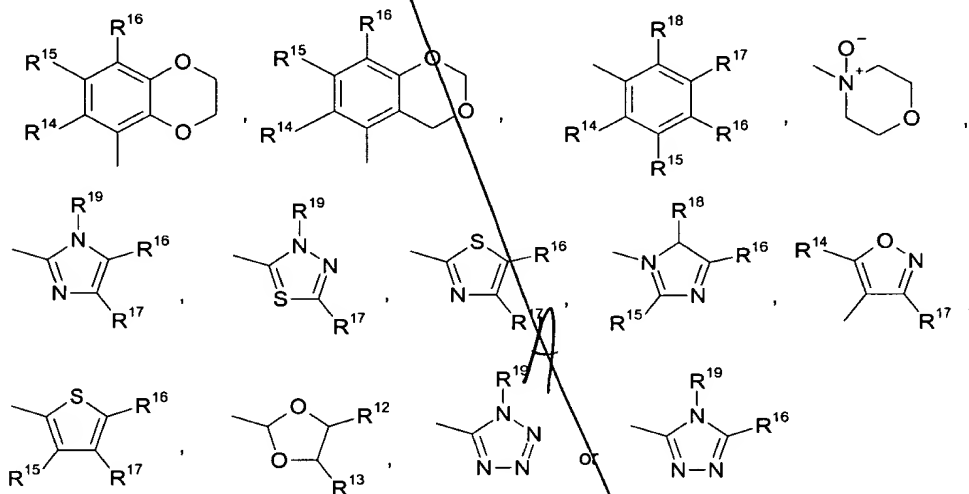
A

~~wherein R¹⁰, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R^{18a} and R¹⁹ are as defined in claim 1.~~

22. A compound according to claim 21, wherein A is lower alkyl, halogen, $-\text{CF}_3$, $-\text{OH}$, cycloalkyl,

in which the cycloalkyl ring may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, $-\text{OH}$, $-\text{CH}_2\text{OH}$, $-\text{NO}_2$, $-\text{CN}$, $-\text{C}(\text{O})\text{OH}$, $-\text{O}$ -lower alkyl, $-\text{C}(\text{O})\text{OCH}_3$, $-\text{C}(\text{O})\text{NH}_2$, $-\text{OCH}_2\text{C}(\text{O})\text{NH}_2$, $-\text{NH}_2$, $-\text{N}(\text{CH}_3)_2$, $-\text{CH}_2\text{N}(\text{CH}_3)_2$, $-\text{SO}_2\text{NH}_2$, $-\text{OCHF}_2$, $-\text{CF}_3$ and $-\text{OCF}_3$,

or A is

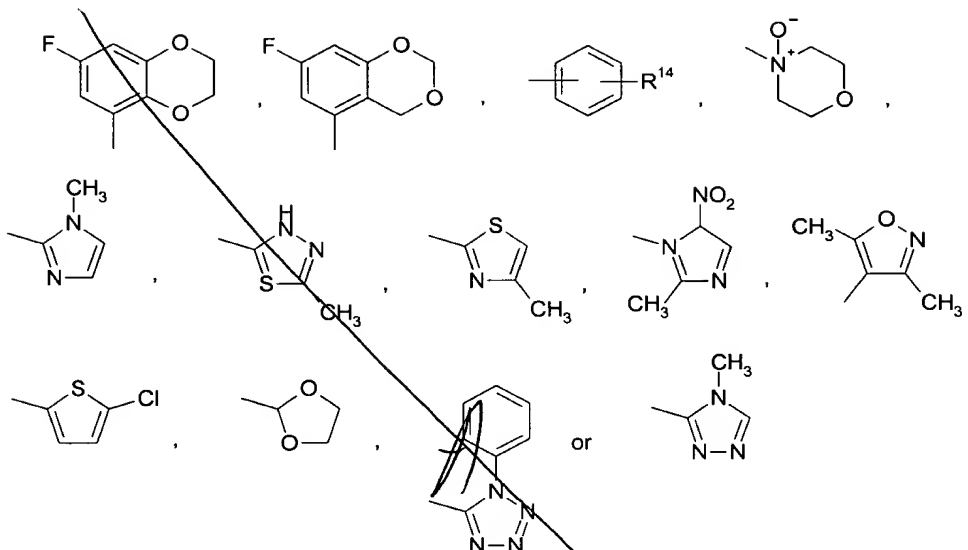


wherein R^{12} to R^{19} are as defined in claim 1.

23. A compound according to claim 22, wherein R^{12} and R^{13} independently are selected from hydrogen and lower alkyl, R^{14} to R^{18} independently are selected from hydrogen, lower alkyl, $-\text{NO}_2$, halogen, $-\text{S}(\text{O})_2\text{R}^{21}$, $-\text{CONR}^{21}\text{R}^{22}$, $-\text{OCHF}_2$, $-\text{S}(\text{O})_2\text{NR}^{21}(\text{CH})_s\text{C}(\text{O})\text{OR}^{22}$, wherein s is 1 or 2, R^{21} and R^{22} independently are hydrogen, lower alkyl or pyridyl, and R^{19} is hydrogen, lower alkyl or phenyl.

24. A compound according to claim 23, wherein A is lower alkyl, halogen, $-\text{CF}_3$, $-\text{OH}$, cycloalkyl,

or A is



wherein R^{14} is $-S(O)_2CH_3$, $-CONH_2$, $-CONH$ -pyridyl, $-OCHF_2$ or $-S(O)_2NH(CH_2)_2C(O)OCH_3$.

- 5 25. A compound according to claim 24, wherein A is lower alkyl.

- claim 1*
 A 26. A compound according to ~~anyone of the preceding claims~~, wherein M is a valence bond, $-(CH_2)_mS(CH_2)_n-$, $-(CH_2)_mS(O)_2(CH_2)_n-$, $-(CH_2)_mNR^9(CH_2)_n-$, $-NR^9(CR^{9c}R^{9d})_n-$, $-(CH_2)_mC(O)O(CH_2)_n-$, $-NR^9O(CH_2)_n-$, $-(CH_2)_mCH=CH(CH_2)_n-$, $-NR^9NR^{9a}C(O)NR^{9b}(CH_2)_n-$, $-O-$ or $-(CH_2)_mCHR^9(CH_2)_n-$ wherein m , n , R^9 , R^{9a} , R^{9b} , R^{9c} and R^{9d} are as defined in claim 1.

27. A compound according to claim 26, wherein M is a valence bond, $-C(O)O-$, $-CH=CH-$, $-N(CH_3)-$, $-CH_2S(O)_2-$, $-NH-$, $-CH_2CH_2-$, $-N(CH_3)O-$, $NHOCH_2-$, $-S-$, $-NHCH_2CH_2NHC(O)-$, $-NHC(CH_3)_2-$, $-CH_2S-$, $-NHCH_2-$, $-NHCH_2CH_2-$, $-O-$ or $-CH_2-$.

28. A compound according to claim 27, wherein M is a valence bond, $-C(O)O-$, $-CH=CH-$, $-N(CH_3)-$, $-CH_2S(O)_2-$, $-NH-$, $-CH_2CH_2-$, $-N(CH_3)O-$, $NHOCH_2-$, $-S-$, $-NHCH_2CH_2NHC(O)-$ or $-NHC(CH_3)_2-$.

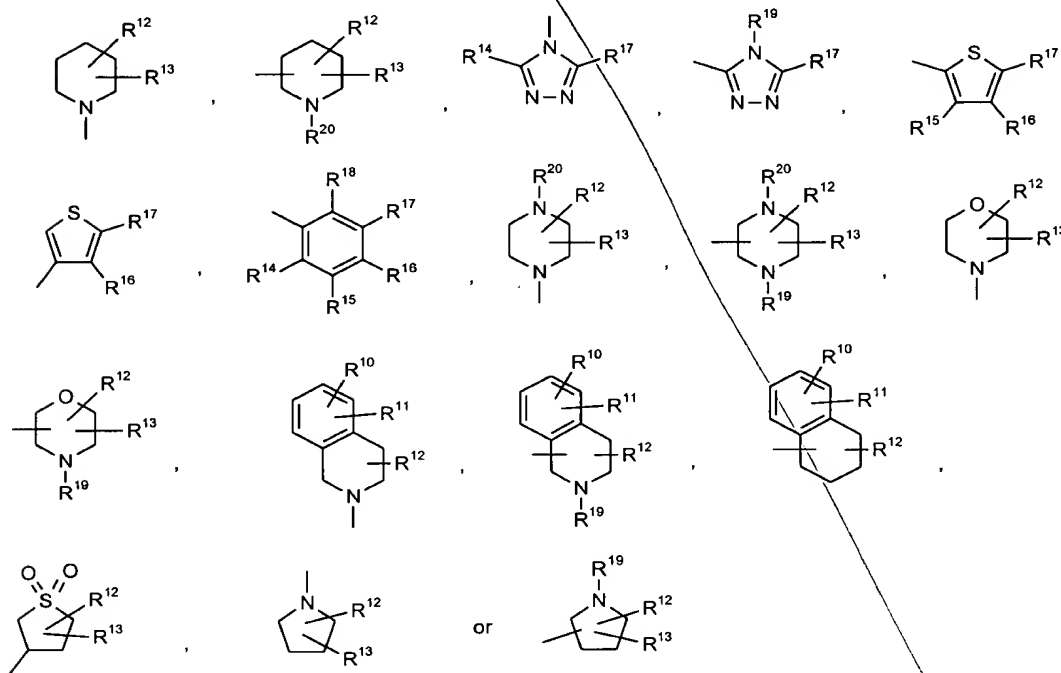
29. A compound according to claim 28, wherein M is a valence bond, $-NH-$ or $-N(CH_3)-$.

claim 1
 30. A compound according to ~~anyone of the preceding claims~~, wherein B is hydrogen, halogen, $-CF_3$, $-CF_2CF_3$, lower alkyl, cycloalkyl,

in which the cycloalkyl ring may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

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or B is



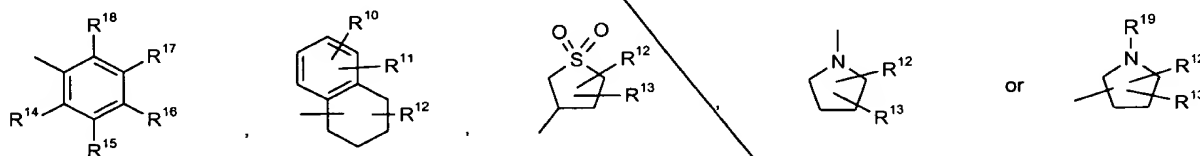
wherein R¹² to R²⁰ are as defined in claim 1.

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31. A compound according to claim 30, wherein B is hydrogen, -CF₃, lower alkyl, cycloalkyl, in which the cycloalkyl ring may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, -CH₂OH, -NO₂, -CN, -C(O)OH, -O-lower alkyl, -C(O)OCH₃, -C(O)NH₂, -OCH₂C(O)NH₂, -NH₂, -N(CH₃)₂, -CH₂N(CH₃)₂, -SO₂NH₂, -OCHF₂, -CF₃ and -OCF₃,

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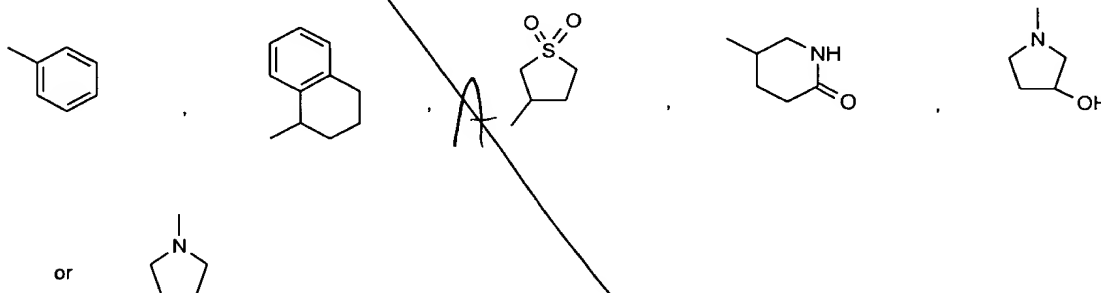
or B is



wherein R^{10} to R^{19} are as defined in claim 1.

32. A compound according to claim 31, wherein R^{10} and R^{11} independently are hydrogen,
 5 lower alkyl, halogen, $-OCF_3$, $-OCHF_2$, $-CF_3$ or $-NO_2$, R^{12} and R^{13} independently are hydrogen, hydroxy or lower alkyl, R^{14} to R^{18} independently are hydrogen, lower alkyl, halogen, $-OCF_3$, $-OCHF_2$, $-CF_3$ or $-NO_2$, and R^{19} is hydrogen or lower alkyl.

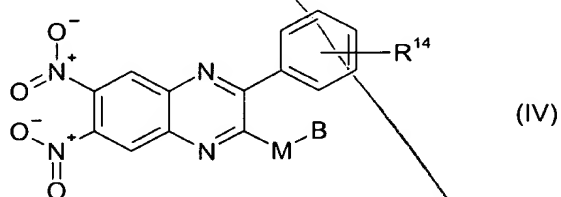
33. A compound according to claim 32, wherein B is hydrogen, $-CF_3$, lower alkyl, cycloalkyl,



34. A compound according to claim 33, wherein B is $-CF_3$ or lower alkyl.

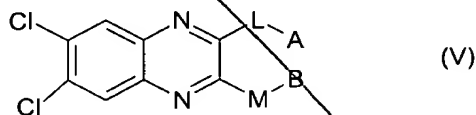
35. A compound according to claim 34, wherein B is lower alkyl.

36. A compound of the general formula (IV):



wherein M, B and R^{14} are as defined in any one of the claims 1 or 26 to 35.

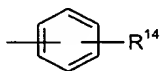
claim 1
 37. A compound of the general formula (V):



5 wherein L is $-\text{S}(\text{CH}_2)_n-$, $-\text{S}(\text{O})(\text{CH}_2)_n-$ or $-\text{S}(\text{O})_2(\text{CH}_2)_n-$, and n, A, M and B are as defined in
 anyone of the claims 1 or 21 to 35.

38. A compound according to claim 36 or 37, wherein M is a valence bond and B is $-\text{CF}_3$ or lower alkyl.

39. A compound according to claim 36 or 37, wherein M is $-\text{NR}^9-$, wherein R^9 is hydrogen or lower alkyl and B is lower alkyl or



, wherein R^{14} is hydrogen, lower alkyl, halogen, $-\text{OCF}_3$, $-\text{OCHF}_2$, $-\text{CF}_3$ or $-\text{NO}_2$.

40. A compound according to anyone of the claims 1 to 39 characterised by having a molecular weight of up to 1000, preferably of up to 600.

41. A compound according to anyone of the claims 1 to 40 characterised by having an EC_{50} value as determined by method 1 or 2 according to example 172 of less than $25 \mu\text{M}$, preferably of less than $10 \mu\text{M}$ and more preferred of less than $2 \mu\text{M}$.

42. A non-peptide GLP-1 agonist which activates the human GLP-1 receptor.

43. A non-peptide GLP-1 agonist according to claim 42 which activates the human GLP-1 receptor without competing with GLP-1 in a competition binding assay.

44. A non-peptide agonist according to claim 42 or 43 which in a competition binding assay potentiates the binding of GLP-1 to the human GLP-1 receptor.

45. A non-peptide GLP-1 agonist according to anyone of the claims 42 to 44 which stabilises an active conformation of the human GLP-1 that is different from the one(s) which GLP-1 stabilises.

5 46. A non-peptide agonist according to anyone of the claims 42 to 45 which is a full agonist.

47. A non-peptide agonist according to anyone of the claims 42 to 45 which is a partial agonist having an E_{\max} of less than 100%, preferably of less than 90%, more preferably of less than 80%, and even more preferably in the range of 35 to 75% of that of GLP-1 as determined by
10 method 1 or 2 according to example 172.

48. A non-peptide agonist according to anyone of the claims 42 to 47 which has at least a 10 fold selectivity towards the human GLP-1 receptor compared to the human glucagon receptor and/or the human GIP receptor.

15 49. A non-peptide GLP-1 agonist according to anyone of the claims 42 to 48 where the agonistic effect mediated by the non-peptide GLP-1 agonist can be antagonised by a GLP-1 antagonist.

20 50. A non-peptide GLP-1 agonist according to claim 49 where the agonistic effect mediated by the non-peptide GLP-1 agonist can be antagonised by 6-(2,5-dichlorobenzyl)-1-hydroxy-2-[2-(4-morpholinyl)ethyl]-1,6-dihydropyrrolo[3',4',5,6]pyrido[3,4-b]indol-3(2H)-one.

51. A compound according to anyone of the claims 1 to 50 for use as a medicament.

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52. A pharmaceutical composition comprising, as an active ingredient, at least one compound according to ~~anyone of the claims 1 to 50~~ ^{claim 1} together with one or more pharmaceutically acceptable carriers or excipients.

30 53. A pharmaceutical composition according to claim 52 in unit dosage form, comprising from about 0.05 mg to about 1000 mg, preferably from about 0.1 mg to about 500 mg and especially preferred from about 0.5 mg to about 200 mg of the compound ~~according to any one of the claims 1 to 50.~~

5 55. Use of a compound according to anyone of the claims 1 to 50 for the preparation of a medicament for the treatment and/or prevention of a metabolic disorder wherein an activation of the human GLP-1 receptor is beneficial.

57. Use of a compound according to anyone of the claims 1 to 50 for the preparation of a medicament for the treatment and/or prevention of Type 2 diabetes.

58. Use according to claim 57 for the preparation of a medicament for the delaying or prevention of the progression from IGT to Type 2 diabetes.

59. Use according to claim 57 for the preparation of a medicament for the delaying or prevention of the progression from non-insulin requiring Type 2 diabetes to insulin requiring Type 2 diabetes.

60. Use of a compound according to anyone of the claims 1 to 50 for the preparation of a medicament for the treatment and/or prevention of Type 1 diabetes.

25 61. Use according to anyone of the claims 54 to 60 in a regimen which additionally comprises treatment with another antidiabetic.

62. Use of a compound according to anyone of the claims 1 to 50 for the preparation of a medicament for the treatment and/or prevention of obesity.

63. Use of a compound according to anyone of the claims 1 to 50 for the preparation of a medicament for the treatment and/or prevention of obesity in a regimen which additionally comprises treatment with another antiobesity agent.

64. Use of a compound according to anyone of the claims 1 to 50 for the preparation of a medicament for the treatment and/or prevention of an appetite regulation or energy expenditure disorder.

5 65. A method for the treatment and/or prevention of disorders or diseases wherein an activation of the human GLP-1 receptor is beneficial the method comprising administering to a subject in need thereof an effective amount of a compound according to ~~anyone of the claims 1 to 50 or a pharmaceutical composition according to claim 52 or 53.~~

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10 66. The method according to claim 65 wherein the effective amount of the compound is in the range of from about 0.05 mg to about 2000 mg, preferably from about 0.1 mg to about 1000 mg and especially preferred from about 0.5 mg to about 500 mg per day.

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